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CAS ONLINE PRINTOUT

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(FILE 'HOME' ENTERED AT 06:52:02 ON 26 JAN 2003)

FILE 'REGISTRY' ENTERED AT 06:52:13 ON 26 JAN 2003

L1 STRUCTURE UPLOADED

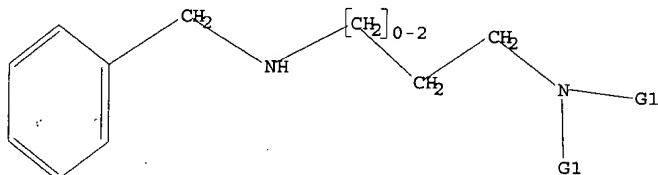
L2 0 S L1 CSS

L3 7 S L1 FUL CSS

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> d ide bib abs 1-7

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 343593-67-9 REGISTRY

CN 1,3-Propanediamine, N,N-dibutyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H32 N2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

(n-Bu)₂N- (CH₂)₃-NH-CH₂-Ph

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 135:33362 CA

TI Preparation of tertiary amino compounds having opioid receptor affinity

IN Kyle, Donald; Goehring, R. Richard; Victory, Sam

PA Euro-Celtique, S.A., Luxembourg

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN: CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001039767	A1	20010607	WO 2000-US33047	20001206

CAS ONLINE PRINTOUT

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

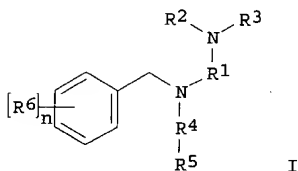
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001041746 A1 20011115 US 2000-730814 20001206
 EP 1244437 A1 20021002 EP 2000-983942 20001206

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 1999-169396P 19991206
 WO 2000-US33047 20001206

GI



AB The title compds. [I; R1 = a bond, alkenylene, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4 = a bond, alkenylene, etc.; R5 = H, 5-6 membered (hetero)aryl, cycloalkyl; R6 = alkyl, cycloalkyl, halo; n = 0-3], useful for the treatment of chronic and acute pain, were prepd. Thus, reacting benzaldehyde with 3-(dibutylamino)propylamine in the presence of NaBH₄, 3.ANG. mol. sieves in MeOH followed by amidataion of the resulting I [R1 = (CH₂)₃; R2, R3 = Bu; R4 = a bond; R5, R6 = H] with phenylacetic acid in the presence of EDCI and DMAP in THF afforded I [R1 = (CH₂)₃; R2, R3 = Bu; R4 = COCH₂; R5 = Ph; R6 = H] which showed K_i of 40 nM against opioid receptor .mu. binding.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2003 ACS
 RN 108621-83-6 REGISTRY
 CN 1,3-Propanediamine, N'-benzyl-N,N-diethyl-, dihydrochloride (6CI) (CA INDEX NAME)
 MF C14 H24 N2 . 2 Cl H
 SR CAOLD
 LC STN Files: BEILSTEIN*, CAOLD
 (*File contains numerically searchable property data)
 CRN (92377-05-4)

Et₂N⁻ (CH₂)₃-NH-CH₂-Ph

2 HCl

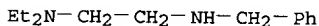
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

CAS ONLINE PRINTOUT

L3 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2003 ACS
 RN 104295-18-3 REGISTRY
 CN Ethylenediamine, N'-benzyl-N,N-diethyl-, dipicrate (6CI) (CA INDEX NAME)
 MF C13 H22 N2 . 2 C6 H3 N3 O7
 SR CAOLD
 LC STN Files: CAOLD

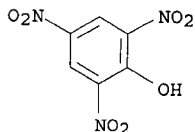
CM 1

CRN 15855-37-5
 CMF C13 H22 N2



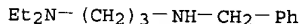
CM 2

CRN 88-89-1
 CMF C6 H3 N3 O7



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2003 ACS
 RN 92377-05-4 REGISTRY
 CN 1,3-Propanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN 1,3-Propanediamine, N'-benzyl-N,N-diethyl- (7CI)
 FS 3D CONCORD
 MF C14 H24 N2
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, USPATFULL
 (*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)
 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

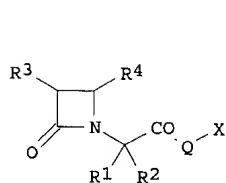
AN 127:234215 CA
 TI Preparation of non-peptidyl vasopressin V1a receptor antagonists
 IN Bruns, Robert F., Jr.; Cooper, Robin D. G.; Dressman, Bruce A.; Hunden, David C.; Kaldor, Stephen W.; Koppel, Gary A.; Rizzo, John R.; Skelton, Jeffrey James; et al.

CAS ONLINE PRINTOUT

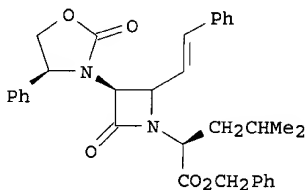
PA Eli Lilly and Co., USA; Bruns, Robert F., Jr.; Cooper, Robin D. G.;
 Dressman, Bruce A.; Hunden, David C.; Kaldor, Stephen W.; Koppel, Gary A.
 SO PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730707	A1	19970828	WO 1997-US3039	19970220
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9719779	A1	19970910	AU 1997-19779	19970220
EP 939632	A1	19990908	EP 1997-907895	19970220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000504731	T2	20000418	JP 1997-529647	19970220
US 6204260	B1	20010320	US 1999-125737	19990819
US 2002049187	A1	20020425	US 2000-733430	20001208
PRAI US 1996-12149P		19960223		
US 1996-12188P		19960223		
US 1996-12215P		19960223		
GB 1996-5044		19960309		
GB 1996-5045		19960309		
GB 1996-5046		19960309		
WO 1997-US3039		19970220		
US 1999-125737		19990819		

GI



I



II

AB Azetidinones I [R¹ = H, alkyl, carbamoyl, alkoxy, acyl, benzoyl, phenyl; R² = H, OH, alkyl; R³ = phthalimido, azido, phenoxyacetamido, oxazolinyl, imidazoliny, pyrrolidinyl, ureido; Q = O, S, NR⁵; X = H, alkyl; R⁵ = H, alkyl, OH, alkoxy, carbonyl, benzyl] were prepd. for use as vasopressin V_{1a} receptor antagonists. Thus, azetidinone II was prepd. starting from L-leucine benzyl ester, cinnamaldehyde, and 2-[4(S)-phenyloxazolidin-2-on-3-yl]acetyl chloride. II gave an IC₅₀ value of 39 nM when tested for vasopressin V_{1a} receptor binding affinity.

REFERENCE 2

AN 59:35302 CA

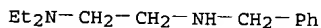
TI Preparation of new esters and basic amides of some acids which act as

CAS ONLINE PRINTOUT

plant growth regulators. III. Amides

AU Thuillier, G.; Dumont, J. M.; Vilar, A.; Rumpf, P.
 CS C.N.R.S., Bellevue
 SO Bull. Soc. Chim. France (1963), (5), 1087-90
 DT Journal
 LA Unavailable
 AB In 60 ml. anhyd. Et₂O was dissolved 19 g. p-chlorophenoxyacetyl chloride and the soln. treated at <5.degree. with 15 g. N,N-diethyl-N'-propylethylenediamine. By pptg. the HCl salt and subsequent liberation of the base by K₂CO₃, 54% N-(diethylaminoethyl)-N-propyl-p-chlorophenoxyacetamide, b0.25 176-7.degree., was obtained. Similarly prepd. were N-(diethylaminoethyl)-N-methyl-p-chlorophenoxyacetamide, b0.1 163-4.degree., N-(diethylaminoethyl)-N-butyl-p-chlorophenoxyacetamide, b0.4 189-90.degree. and N,N-bis(diethyl-aminoethyl)-p-chlorophenoxyacetamide, b0.1 192-5.degree.. Diethylaminoethylamine (20 g.) in abs. alc. yielded 55% of N,N,N'-triethylethylenediamine (I), b18 65-70.degree., after 10 hrs. refluxing with 18.7 g. EtBr. I was treated with p-chlorophenoxyacetyl chloride to give 68% of N-(diethylaminoethyl)-N-ethyl-p-chlorophenoxyacetamide, b0.3 187-9.degree.. At 60.degree., 40 g. BzH in 180 ml. C₆H₆ was treated with 72.4 g. N,N-diethylpropane-1,3-diamine and refluxed 4 hrs. giving 80% of benzylidenediethylaminopropylamine (II), b0.2 100-2.degree.. Hydrogenation at 50.degree. and at 25 kg./cm.² of II using Raney Ni, yielded 87% of N,N-diethyl-N'-benzylpropane-1,3-diamine, b0.1 97-102.degree., which the p-chlorophenoxyacetyl chloride gave 60% of N-(3-diethylaminopropyl)-N-benzyl-p-chlorophenoxyacetamide, b0.3 205-8.degree.. Et .alpha.-(p-chlorophenoxy)propionate (65 g.) and 90 g. 3-(diethylaminopropylamine heated for 3-4 hrs. at 120-30.degree. yielded 70% of N-(3-diethylaminopropyl)-.alpha.-(p-chlorophenoxy)propionamide, b0.2 173.degree.. Similarly prepd. were N-(3-dimethylaminopropyl)-.alpha.-(p-chlorophenoxy)propionamide, b0.15 159-60.degree., N-(3-diethylaminopropyl)-.alpha.-(p-bromophenoxy)propionamide, b0.25 193-6.degree., N-(3-diethylaminopropyl)-.alpha.-(2,4-dichlorophenoxy)propionamide, b0.25 189-90.degree., N-(3-diethylaminopropyl)-.alpha.-(2-methyl-4-chlorophenoxy)propionamide, b0.8 185-7.degree., N-(3-ethylaminopropyl)-p-chlorophenoxyacetamide-HCl, m. 143.degree., N-(3-methylaminopropyl)-p-chlorophenoxyacetamide-HCl, m. 141.degree., N-(3-methylaminopropyl)-.alpha.-(p-chlorophenoxy)propionamide-HCl, m. 149.degree., N-(3-aminopropyl)-.alpha.-(p-chlorophenoxy)propionamide-HCl, m. 147.degree., N-(3-methylaminopropyl)-2-methyl-4-chlorophenoxyacetamide-HCl, m. 134.degree., N-3-ethylaminopropyl-2-methyl-4-chlorophenoxyacetamide-HCl, m. 100.degree., N-(3-diisopropylaminopropyl)-p-chlorophenoxyacetamide, b0.1 185.degree., and N-(3-dibutylaminopropyl)-p-chlorophenoxyacetamide, b0.3 195.degree..

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2003 ACS
 RN 40172-11-0 REGISTRY
 CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Ethylenediamine, N'-benzyl-N,N-diethyl-, hydrochloride (6CI)
 MF C13 H22 N2 . Cl H
 LC STN Files: CA, CAOLD, CAPLUS, TOXCENTER
 CRN (15855-37-5)



HCl

CAS ONLINE PRINTOUT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 78:72181 CA
TI 8-Aminothetheophylline derivatives
PA Laboratoire Lebrun S. A.
SO Fr. Demande, 15 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2116302	A5	19720713	FR 1970-43891	19701207
	FR 2116302	B1	19740621		

PRAI FR 1970-43891 19701207

GI For diagram(s), see printed CA Issue.

AB 8-Aminothetheophyllines I (R = alkyl, aralkyl, hydroxyalkyl, chloroalkyl, aminoalkyl; R1 = alkyl, aralkyl, aminoalkyl; NRR1 = substituted piperazino, piperidino, pyrrolidino) (52 compds.) were prep'd. by treating 8-chlorothetheophylline or 8-bromothetheophylline with RR1NH. I displayed coronary dilator, diuretic, spasmolytic, and bronchodilator activities greater than that of theophylline, accompanied by lower toxicity.

L3 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 15855-37-5 REGISTRY

CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethylenediamine, N'-benzyl-N,N-diethyl- (6CI)

CN Ethylenediamine, N,N-diethyl-N'-benzyl- (8CI)

FS 3D CONCORD

MF C13 H22 N2

CI COM

LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS
(*File contains numerically searchable property data)

CCNCCNCCc1ccccc1

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

9 REFERENCES IN FILE CA (1962 TO DATE)
9 REFERENCES IN FILE CAPLUS (1962 TO DATE)
4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

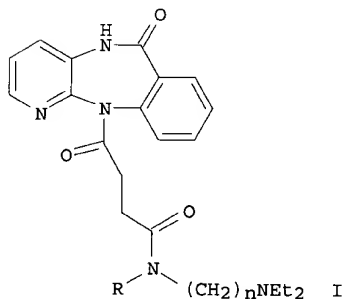
AN 127:220715 CA
TI An efficient one-pot synthesis of 3-(aryl and alkyl)methylene-1H-isoindolin-1-ones via aryne cyclization and Horner reaction of o-(and m-)halo-N-(phosphinylmethyl)benzamide derivatives
AU Couture, Axel; Deniau, Eric; Grandclaude, Pierre
CS Laboratoire de Chimie Organique Physique, URA CNRS, Universite des Sciences et Technologies de Lille, Villeneuve d'Ascq, F-59655, Fr.
SO Tetrahedron (1997), 53(30), 10313-10330
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier

CAS ONLINE PRINTOUT

DT Journal
 LA English
 AB 3-(Alkyl and aryl)methylene-2,3-dihydro-1H-isoindol-1-one derivs. were synthesized by a 1-pot reaction sequence involving lithiation of 2- (or 3-)halo-N-(phosphinylmethyl)benzamides, cyclization of the aryne intermediate, metal migration and Horner reaction of the resulting phosphorylated aminocarbanion with selected arom. and aliph. aldehydes.

REFERENCE 2

AN 127:149125 CA
 TI Synthesis of novel succinamide derivatives having the 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one skeleton as potent and selective M2 muscarinic receptor antagonists. I
 AU Watanabe, Toshihiro; Kinoyama, Isao; Kakefuda, Akio; Okazaki, Toshio; Takizawa, Kenji; Hirano, Seiko; Shibata, Hiroshi; Yanagisawa, Isao
 CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba City, 305, Japan
 SO Chemical & Pharmaceutical Bulletin (1997), 45(6), 996-1007
 CODEN: CPBTAL; ISSN: 0009-2363
 PB Pharmaceutical Society of Japan
 DT Journal
 LA English
 GI



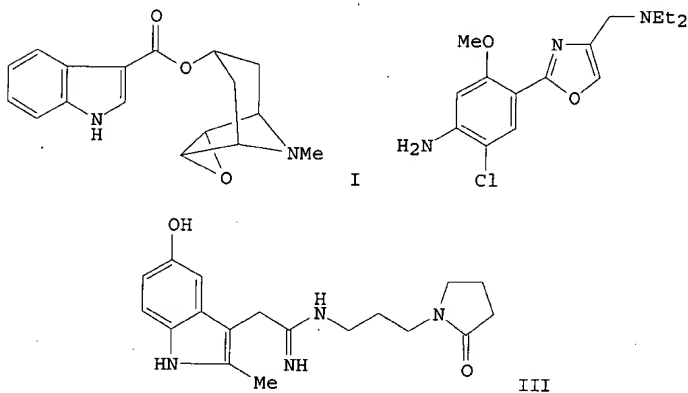
AB A series of 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one derivs. contg. the succinamide skeleton, e.g., I (R = H, Me, CH₂Ph, etc., n = 2-4), has been synthesized and evaluated for M1, M2 and M3 muscarinic receptor binding affinities (in vitro) and M2 and M3 muscarinic receptor antagonistic activities (in vivo). Some of them showed higher and more selective binding affinities for M2 muscarinic receptors than that of AF-DX 116. Among them, 11-[3-[N-(2-(N-benzyl-N-methylamino)ethyl)-N-ethylcarbamoyl]propionyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one was found to be the most potent and selective M2 muscarinic receptor antagonist in vitro. This compd. also strongly inhibited the oxotremorine-induced bradycardia after i.v. administration and showed 130-fold selectivity for M2 muscarinic receptors over M3 muscarinic receptors in vivo.

REFERENCE 3

AN 119:225779 CA

CAS ONLINE PRINTOUT

TI	Design and synthesis of novel ligands for the 5-HT3 and the 5-HT4 receptor
AU	Blum, E.; Buchheit, K. H.; Buescher, H. H.; Gamse, R.; Kloepfner, E.; Meigel, H.; Papageorgiou, C.; Waelchli, R.; Revesz, L.
CS	Preclin. Res., Sandoz Pharma AG, Basel, CH-4002, Switz.
SO	Bioorganic & Medicinal Chemistry Letters (1992), 2(5), 461-6
	CODEN: BMCLE8; ISSN: 0960-894X
DT	Journal
LA	English
GI	

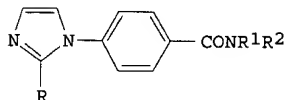


AB A novel highly potent 5-HT₃ antagonist and Tropisetron analog I is described with an increased efficacy to inhibit cisplatin induced emesis in ferrets. Four novel structural classes of gastropokinetic benzamide bioisosteres, e.g., II, are presented. 5-HT derivs., e.g., III, are described as ligands of the recently discovered 5-HT₄ receptor.

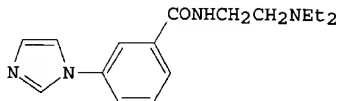
REFERENCE 4

AN 112:158146 CA
TI Synthesis and cardiac electrophysiological activity of
N-substituted-4-(1H-imidazol-1-yl)benzamides - new selective class III
agents
AU Morgan, Thomas K., Jr.; Lis, Randall; Lumma, William C., Jr.; Nickisch,
Klaus; Wohl, Ronald A.; Phillips, Gary B.; Gomez, Robert P.; Lampe, John
W.; Di Meo, Susan V.; et al.
CS Med. Chem. Dep., Berlex Lab., Inc., Cedar Knolls, NJ, 07927, USA
SO Journal of Medicinal Chemistry (1990), 33(4), 1091-7
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI

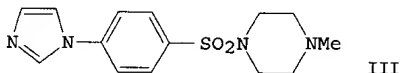
CAS ONLINE PRINTOUT



I



II

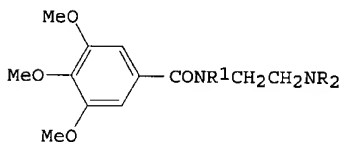


III

AB The synthesis and cardiac electrophysiol. activity of 18 N-substituted imidazolylbenzamides, e.g. I [R = H, Me; R1 = H, 1-naphthyl, CH2Ph; R2 = CH2CH2NEt2, CH2CH2NH2, (CH2)3NH2, CH2CH2NHCH2Ph, etc.] and II or benzenesulfonamides, e.g., III, are described. I [R = R1 = H, R2 = CH2CH2NEt2, CH2CH2NHCH2Ph, (CH2)3NH2] and II exhibited potency in the in vitro Purkinje fiber assay comparable to that of sematilide (IV), a potent selective Class III agent which is undergoing clin. trials. These data indicate that the 1H-imidazol-1-yl moiety is a viable replacement for the methylsulfonylamino group for producing Class III electrophysiol. activity in the N-substituted benzamide series. I (R = R1 = H, R2 = CH2CH2NH2) was further studied in two in vivo models of reentrant arrhythmias and showed potency and efficacy comparable to those of IV.

REFERENCE 5

AN 95:186802 CA
 TI Studies on antiarrhythmics. I. Synthesis of 3,4,5-trimethoxybenzamide derivatives
 AU Liu, Yi-Sun; Zhou, Zhi-Shan; Gu, Ke-Jia; Liang, Cheng-Yi
 CS Fac. Pharm., First Med. Coll. Shanghai, Shanghai, Peop. Rep. China
 SO Yaoxue Xuebao (1981), 16(2), 158-60
 CODEN: YHHPAL; ISSN: 0513-4870
 DT Journal
 LA Chinese
 GI



I

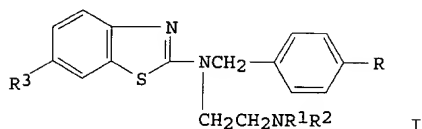
AB Benzamides I [R, R1 = Ph, Et (II); Ph, Me (III); 2-MeC6H4, Et (IV); H, Et; PhCH2, Et] were prepd. by amidation of 3,4,5-(MeO)3C6H2COCl with R1NHCH2NR2. II, III and IV exhibited significant antiarrhythmic activity at 10.0-18.1 mg/kg.

REFERENCE 6

AN 90:103887 CA
 TI Synthesis and pharmacodynamic study of new benzothiazole derivatives
 AU Foscolos, G.; Tsatsas, G.
 CS Greece
 SO Praktika tes Akademias Athenon (1977), Volume Date 1976, 51(A), 274-91
 CODEN: PAATAK; ISSN: 0369-8106

CAS ONLINE PRINTOUT

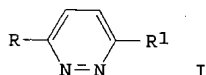
DT Journal
LA French
GI



AB Benzothiazoles I (R = H, OMe, Me, Cl; NR1R2 = NMe2, NEt2, piperidino, morpholino; R3 = OMe, Cl, Me, OEt) (21 compds.) were prepd. by treating 4-RC6H4CHO with R1R2NCH2CH2NH2, hydrogenating the resulting Schiff bases, treating R1R2NCH2CH2NHCH2C6H4R-4 with 4-R3C6H4NCS, and cyclizing 4-R3C6H4NHCSN(CH2C6H4R-4)CH2CH2NR1R2 with Br. Various I have sympatholytic, muscle relaxant, analgesic, neuroleptic, sedative, and cerebral vasodilating activity.

REFERENCE 7

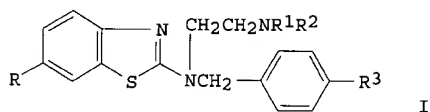
AN 88:152532 CA
TI 3-Hydrazino pyridazine derivatives. Part II. Synthesis and antihypertensive activity of new 3-hydrazino-6-monoalkylaminopyridazine
AU Parravicini, F.; Scarpitta, G.; Dorigotti, L.; Pifferi, G.
CS Lab. Ric. I.S.F., Italseber S.p.A., Milan, Italy
SO Farmaco, Edizione Scientifica (1978), 33(2), 99-105
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA Italian
GI



AB The title compds. (I, R = NHR2, R1 = NHNH2, R2 = CHMe2, CH2CH2NEt2, CH2CH2OH, CH2CHMeOH) were prepd. by treating I (R = R1 = Cl) with R2NHCH2Ph, treating I (R = NR2CH2Ph, R1 = Cl) with N2H4-PhCHO, and treating I (R = NR2CH2Ph, R1 = NHN:CHPh) with concd. HCl. I (R = NHR2, R1 = NHNH2) had an antihypertensive ED25 0.15-0.3 mg/kg i.v. in rats and an adrenolytic ED50 0.3-0.7 mg/kg i.v. in cats.

REFERENCE 8

AN 88:121037 CA
TI Synthesis and pharmacological study of new compounds of benzothiazole
AU Foscolos, G.; Tsatsas, G.; Champagnac, A.; Pommier, M.
CS Lab. Pharm. Chem., Univ. Athens, Athens, Greece
SO Annales Pharmaceutiques Francaises (1977), 35(7-8), 295-307
CODEN: APFRAD; ISSN: 0003-4509
DT Journal
LA French
GI



AB Benzothiazoles I (R = OMe, Cl, Me, OEt; NR₁R₂ = NMe₂, NEt₂, piperidino, pyrrolidino, morpholino; R₃ = H, OMe, Cl) were prepd. by treating R₁R₂NCH₂CH₂NH₂ with 4-R₃C₆H₄CHO, reducing R₁R₂NCH₂CH₂N:CHC₆H₄R₃-4, treating R₁R₂NCH₂CH₂NHCH₂C₆H₄R₃-4 with 4-RC₆H₄NCS, and cyclizing 4-RC₆H₄NHCSN(CH₂C₆H₄R₃-4)CH₂CH₂NR₁R₂ with Br. I had sedative, anticonvulsant, analgesic, muscle relaxant, antihistaminic, parasympatholytic, and sympatholytic activities.

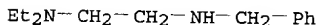
REFERENCE 9

AN 67:43520 CA
 TI Synthesis of .beta.-dialkylaminoethyl derivatives of 2,6-dichloro- and 2,6-dimethylbenzaloxime with local anesthetic properties
 AU Rossi, Silvano; Pirola, Ornella; Selva, Flavio
 CS Lab. Farm., Maestretti S.p.A., Milan, Italy
 SO Farmaco, Edizione Scientifica (1967), 22(3), 172-86
 CODEN: FRPSAX; ISSN: 0430-0920
 DT Journal
 LA Italian
 AB By alkylation of a mixt. of syn- (I), and anti-2,6-dichlorobenzaloxime (II), and a mixt. of syn- (III), and anti-2,6-dimethylbenzaloxime (IV), with .beta.-dialkylaminoethyl chlorides, the corresponding N-, and O-alkyl derivs. were simultaneously obtained. O-Alkylated derivs. were also prepd. by condensation of the corresponding 2,6-disubstituted benzaldehydes with O-(.beta.-dialkylaminoethyl)hydroxylamines. The alkylated isomers were sepd. and structures detd. Thus, from a mixt. of I and II, m. 125-50.degree., by reaction with .beta.-diethylaminoethyl chloride hydrochloride (V) and MeONa, a mixt. of O - (VI), and N - (.beta.-diethylaminoethyl) - 2,6 - dichlorobenzaloxime (VII) was obtained. VI and VII were sepd. as perchlorates, m. 81-3.degree., and 139-41.degree., resp., and as hydrochlorides (VIII, and IX, resp.), m. 142-3.degree., and 169.degree., resp. Hydrogenation of VIII gave benzylamine hydrochloride and diethylaminoethanol hydrochloride; picrate m. 76-8.degree.. IX, by hydrogenation, gave N,N-diethyl-N'-benzylethylenediamine, b. 95-7.degree., prepd. also from N-diethylaminoacetylbenzylamine by treatment with LiAlH₄. By reaction of I with V and MeONa, a mixt. of VI and VII was obtained. By the same reaction, II gave only VII. By reaction of a mixt. of I and II with .beta.-dimethylaminoethyl chloride hydrochloride (X) and MeONa, a mixt. of O- (XI) and N-(.beta.-dimethylaminoethyl)-2,6-dichlorobenzaloxime (XII) was obtained. XI and XII were sepd. as perchlorates (XIII and XIV, resp.), m. 111-13.degree., and 168-9.degree., resp. From XIV the following salts were prepd.: oxalate, m. 160-2.degree.; hydrochloride, m. 180-2.degree.. From XIII the following salts were prepd.: hydrochloride, m. 162.degree.; citrate, m. 131.degree.; oxalate, m. 152-3.degree.. XI was prepd. also from 2,6-dichlorobenzaldehyde by reaction with O-(.beta.-dimethylaminoethyl)hydroxylamine dihydrochloride and AcONa. The base was isolated as perchlorate identical with XIII. By reaction of III and IV, m. 80-110.degree., with V and MeONa, a mixt. of O- (XV) and N-(.beta.-diethylaminoethyl)-2,6-dimethylbenzaloxime (XVI) was obtained. The two bases were isolated as perchlorates, m. 89-91.degree., and 158-9.degree., resp. The hydrochlorides (XVII and XVIII, resp.), were also prepd., m. 151-2.degree., and 138-9.degree., resp. XVIII, on

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hydrogenation, gave an oil that was characterized by treatment with BzCl and perchloric acid: N-benzoyl-N-2,6-dimethylbenzyl-N'-diethylethylenediamine perchlorate, m. 116-17.degree., was obtained. XVII, by hydrogenation, gave 2,6-dimethylbenzylamine hydrochloride (XIX), m. 260.degree. (from which the Bz deriv. was prepd., m. 136-7.degree.), and diethylaminoethanol, isolated as its picrate, m. 75-7.degree.. XIX, by reaction with Et3N and chloroacetyl chloride, gave N-chloroacetyl-2,6-dimethylbenzylamine (XX), m. 160.degree.. XX, by reaction with Et2NH, gave N-diethylaminoacetyl-2,6-dimethylbenzylamine (XXI); perchlorate m. 209-10.degree.; hydrochloride m. 137-8.degree.. XXI, by reaction with LiAlH4, gave N-(2,6-dimethylbenzyl)-N',N'-diethylethylenediamine, b0.8 144-6.degree., from which the Bz deriv. was prepd. and characterized as perchlorate, m. 115-16.degree.. By reaction of III and IV with X and MeONa, a mixt. of O-, and N-(.beta.-dimethylaminoethyl)-2,6-dimethylbenzaloxime was obtained. The two bases were sepd. and characterized as perchlorates, m. 116-19.degree., and 178-80.degree., resp.; hydrochlorides m. 153-4.degree. and 164-5.degree., resp.; oxalates m. 149-50.degree. and 132-3.degree., resp. The purity of all the products prepd. was controlled by thin layer chromatog. Rf values are reported. Several of the synthesized compds. show local anesthetic activity.

L3 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2003 ACS
 RN 15095-68-8 REGISTRY
 CN Ethylenediamine, N'-benzyl-N,N-diethyl-, dihydrochloride (8CI) (CA INDEX NAME)
 MF C13 H22 N2 . 2 Cl H
 LC STN Files: CA, CAPLUS
 CRN (15855-37-5)



● 2 HCl

1 REFERENCES IN FILE CA (1962 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 68:59497 CA
 TI Reductive ring cleavage of 1,3-disubstituted imidazolium iodides by sodium borohydride
 AU Godefroi, Erik F.
 CS Janssen Pharmaceut. N. V., Beerse, Belg.
 SO Journal of Organic Chemistry (1968), 33(2), 860-2
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 AB The effect of NaBH4 on some simple, dissimilarly substituted imidazolium iodides with a benzyl substituent on 1 of the N atoms was investigated. In all cases, reductive ring cleavage occurred giving 2 isomers which were sepd. by their HCl salts. NaBH4 cleaves the imidazolium ring predominantly between the C-2 and the N atom bearing the benzyl group.

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